

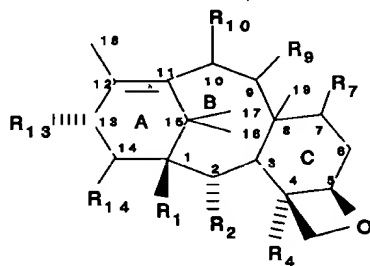
What is claimed is:

1. A process for the acylation of a C(10) hydroxy group of a taxane, the process comprising
treating the taxane with an acylating agent in a
reaction mixture containing less than one equivalent of
an amine base for each equivalent of taxane to form a
5 C(10) acylated taxane.

2. The process of claim 1 wherein the taxane has C(7) and C(10) hydroxy groups and the acylating agent selectively reacts with the C(10) hydroxy group.

3. The process of claim 1 wherein the taxane reacted with the acylating agent is 10-deacetyl baccatin III.

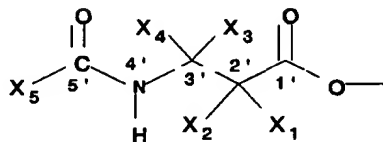
4. The process of claim 1 wherein the taxane has the structure:



wherein

- 5 R_1 is hydrogen, hydroxy, protected hydroxy, or
together with R_{14} or R_2 forms a carbonate;
 R_2 is keto, $-OT_2$, acyloxy, or together with R_1 forms
a carbonate;
 R_4 is $-OT_4$ or acyloxy;
10 R_7 is hydrogen, halogen, $-OT_7$, $-OCOZ_7$, or $-OCOOZ_7$;
 R_9 is hydrogen, keto, $-OT_9$, $-OCOZ_9$, or $-OCOOZ_9$;
 R_{10} is hydroxy;

R_{13} is hydroxy, protected hydroxy, keto, or



15 R_{14} is hydrogen, $-OT_{14}$, acyloxy, or together with R_1 forms a carbonate;

T_2 , T_4 , T_7 , T_9 , and T_{14} are independently hydrogen or hydroxy protecting group;

X_1 is $-OX_6$, $-SX_7$, or $-NX_8X_9$;

20 X_2 is hydrogen, hydrocarbyl, substituted hydrocarbyl, or heteroaryl;

X_3 and X_4 are independently hydrogen, hydrocarbyl, substituted hydrocarbyl, or heteroaryl;

X_5 is $-X_{10}$, $-OX_{10}$, $-SX_{10}$, $-NX_8X_{10}$, or $-SO_2X_{11}$;

25 X_6 is hydrocarbyl, substituted hydrocarbyl, heteroaryl, hydroxy protecting group or a functional group which increases the water solubility of the taxane derivative;

30 X_7 is hydrocarbyl, substituted hydrocarbyl, heteroaryl, or sulfhydryl protecting group;

X_8 is hydrogen, hydrocarbyl, or substituted hydrocarbyl;

X_9 is an amino protecting group;

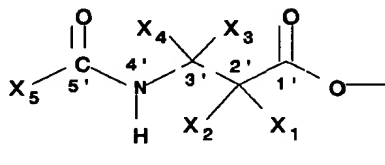
35 X_{10} is hydrocarbyl, substituted hydrocarbyl, or heteroaryl;

X_{11} is hydrocarbyl, substituted hydrocarbyl, heteroaryl, $-OX_{10}$, or $-NX_8X_{14}$; and

X_{14} is hydrogen, hydrocarbyl, substituted hydrocarbyl, or heteroaryl.

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R₁₃ is hydroxy, protected hydroxy, or



6. The process of claim 1 wherein the acylating agent is selected from the group consisting of

anhydrides, dicarbonates, thiodicarbonates, and isocyanates.

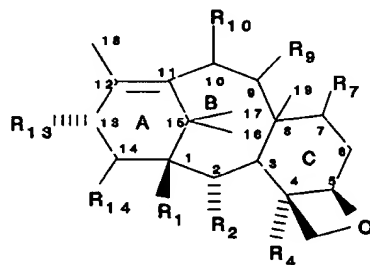
7. The process of claim 6 wherein the reaction mixture contains a Lewis acid.

8. The process of claim 7 wherein the taxane reacted with the acylating agent is 10-deacetyl baccatin III.

9. The process of claim 1 wherein the reaction mixture contains a Lewis acid.

10. The process of claim 9 wherein the taxane reacted with the acylating agent is 10-deacetyl baccatin III.

11. The process of claim 9 wherein the taxane has the structure:



wherein

5 R_1 is hydrogen, hydroxy, protected hydroxy, or together with R_{14} or R_2 forms a carbonate;

R_2 is keto, $-OT_2$, acyloxy, or together with R_1 forms a carbonate;

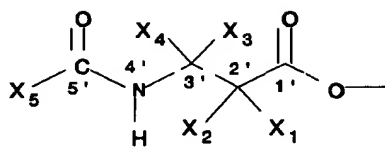
R_4 is $-OT_4$ or acyloxy;

10 R_7 is hydrogen, halogen, $-OT_7$, $-OCOZ_7$, or $-OCOOZ_7$;

R_9 is hydrogen, keto, $-OT_9$, $-OCOZ_9$, or $-OCOOZ_9$;

R₁₀ is hydroxy;

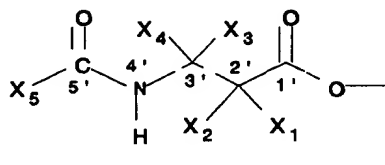
R₁₃ is hydroxy, protected hydroxy, keto, or



- 15 R₁₄ is hydrogen, -OT₁₄, acyloxy, or together with R₁ forms a carbonate;
T₂, T₄, T₇, T₉ and T₁₄ are independently hydrogen or hydroxy protecting group;
X₁ is -OX₆, -SX₇, or -NX₈X₉;
- 20 X₂ is hydrogen, hydrocarbyl, substituted hydrocarbyl, or heteroaryl;
X₃ and X₄ are independently hydrogen, hydrocarbyl, substituted hydrocarbyl, or heteroaryl;
X₅ is -X₁₀, -OX₁₀, -SX₁₀, -NX₈X₁₀, or -SO₂X₁₁;
- 25 X₆ is hydrocarbyl, substituted hydrocarbyl, heteroaryl, hydroxy protecting group or a functional group which increases the water solubility of the taxane derivative;
X₇ is hydrocarbyl, substituted hydrocarbyl, heteroaryl, or sulfhydryl protecting group;
- 30 X₈ is hydrogen, hydrocarbyl, or substituted hydrocarbyl;
X₉ is an amino protecting group;
X₁₀ is hydrocarbyl, substituted hydrocarbyl, or heteroaryl;
- 35 X₁₁ is hydrocarbyl, substituted hydrocarbyl, heteroaryl, -OX₁₀, or -NX₈X₁₄; and
X₁₄ is hydrogen, hydrocarbyl, substituted hydrocarbyl, or heteroaryl.

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R₁₃ is hydroxy, protected hydroxy, or



Z₂ and Z₄ are independently hydrocarbyl, substituted
25 hydrocarbyl, or heteroaryl.

13. The process of claim 9 wherein the Lewis acid is selected from the group consisting of the halides or

triflates of the Group IB, IIB, IIIB, IVB, VB, VIIB, VIII, IIIA, IVA, lanthanide and actinide elements.

14. The process of claim 13 wherein the Lewis acid is selected from the group consisting of zinc chloride, stannic chloride, cerium trichloride, cuprous chloride, lanthanum trichloride, dysprosium trichloride and
5 ytterbium trichloride.

15. The process of claim 1 wherein the C(10) acylated taxane comprises a C(7) hydroxy group and the process additionally comprises treating the C(10) acylated taxane with a silylating agent to silylate the
5 C(7) hydroxy group.

16. The process of claim 15 wherein the C(10) acylated taxane is baccatin III.

17. The process of claim 1 wherein the C(10) acylated taxane comprises a C(7) hydroxy group and the process additionally comprises treating the C(10) acylated taxane with an acylating agent to acylate the
5 C(7) hydroxy group.

18. The process of claim 17 wherein the C(10) acylated taxane is baccatin III.

19. The process of claim 1 wherein the C(10) acylated taxane comprises a C(13) hydroxy, metallic oxide, or ammonium oxide substituent and the process additionally comprises the step of esterifying the C(10)
5 acylated taxane by treating the C(10) acylated taxane with a side chain precursor selected from the group consisting of β -lactams, oxazolines, oxazolidine

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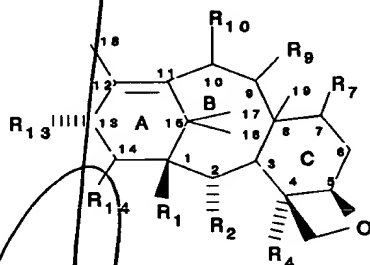
24. The process of claim 20 wherein the silylamide or bissilylamide is selected from the group consisting of tri(hydrocarbyl)silyl-trifluoromethylacetamides and bis tri(hydrocarbyl)-silyltrifluoromethylacetamides, with the

5 hydrocarbonyl moiety being substituted or unsubstituted
alkyl or aryl.

25. The process of claim 20 wherein the C(10)
silylated taxane comprises a C(7) hydroxy group and the
process additionally comprises treating the C(10)
silylated taxane with an acylating agent to acylate the
5 C(7) hydroxy group.

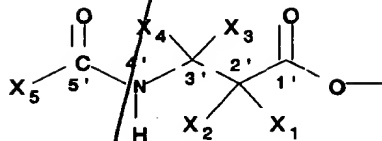
26. The process of claim 20 wherein the taxane
treated with the silylamide or bis-silylamide is 10-
deacetyl baccatin III and the process additionally
comprises treating the C(10) silylated taxane with an
5 acylating agent to acylate the C(7) hydroxy group.

27. The process of claim 20 wherein the taxane has
the structure:



wherein

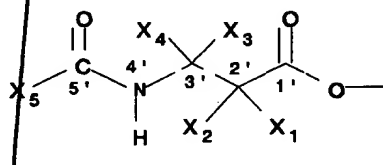
- 5 R_1 is hydrogen, hydroxy, protected hydroxy, or
together with R_{14} or R_2 forms a carbonate;
 R_2 is keto, $-OT_2$, acyloxy or together with R_1 forms a
carbonate;
 R_4 is $-OT_4$ or acyloxy;
10 R_7 is hydrogen, halogen, $-OT_7$, or acyloxy;
 R_9 is hydrogen, keto, $-OT_9$, or acyloxy;
 R_{10} is hydroxy;
 R_{13} is hydroxy, protected hydroxy, keto, or



- 15 R_{14} is hydrogen, $-OT_{14}$, acyloxy, or together with R_1 forms a carbonate;
 T_2 , T_4 , T_7 , T_9 , and T_{14} are independently hydrogen or hydroxy protecting group;
 X_1 is $-OX_6$, $-SX_7$, or $-NX_8X_9$;
20 X_2 is hydrogen, hydrocarbyl, substituted hydrocarbyl, or heteroaryl;
 X_3 and X_4 are independently hydrogen, hydrocarbyl, substituted hydrocarbyl, or heteroaryl;
 X_5 is $-X_{10}$, $-OX_{10}$, $-SX_{10}$, $-NX_8X_{10}$,
25 or $-SO_2X_{11}$;
 X_6 is hydrocarbyl, substituted hydrocarbyl, heteroaryl, hydroxy protecting group or a functional group which increases the water solubility of the taxane derivative;
30 X_7 is hydrocarbyl, substituted hydrocarbyl, heteroaryl, or sulfhydryl protecting group;
 X_8 is hydrogen, hydrocarbyl, or substituted hydrocarbyl;
 X_9 is an amino protecting group;
35 X_{10} is hydrocarbyl, substituted hydrocarbyl, or heteroaryl;
 X_{11} is hydrocarbyl, substituted hydrocarbyl, heteroaryl, $-OX_{10}$, or $-NX_8X_{14}$; and
 X_{14} is hydrogen, hydrocarbyl, substituted
40 hydrocarbyl, or heteroaryl.

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28. The process of claim 27 wherein
R₁ is hydroxy or together with R₁₄ or R₂ forms a carbonate;
R₂ is -OCOZ₂, -OCOOZ₂, or together with R₁ forms a
5 carbonate;
R₄ is -OCOZ₄;
R₉ is hydrogen or keto;
R₁₃ is hydroxy, protected hydroxy, or



10 R₁₄ is hydrogen, hydroxy, protected hydroxy, or
together with R₁ forms a carbonate;
X₁ is -OX₆ or -NX₈X₉;
X₂ is hydrogen, hydrocarbyl, or substituted
hydrocarbyl;
15 X₃ and X₄ are independently hydrogen, hydrocarbyl,
substituted hydrocarbyl, or heteroaryl;
X₅ is -X₁₀, -OX₁₀, or -NX₈X₁₀;
X₆ is a hydroxy protecting group;
X₈ is hydrogen, hydrocarbyl, or substituted
20 hydrocarbyl;
X₉ is an amino protecting group;
X₁₀ is hydrocarbyl, substituted hydrocarbyl, or
heteroaryl; and
Z₂ and Z₄ are independently hydrocarbyl, substituted
25 hydrocarbyl, or heteroaryl.

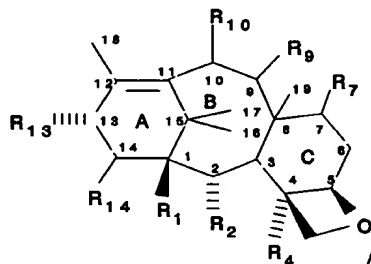
29. A process for converting the C(7) hydroxy group
of a 10-acyloxy-7-hydroxytaxane to an acetal or ketal,
the process comprising treating the 10-acyloxy-7-

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hydroxytaxane with a ketalizing agent in the presence of
5 an acid catalyst to form a C(10) ketalized taxane.

30. The process of claim 29 wherein the C(10)
substituent of the 10-acyloxy-7-hydroxytaxane is acetoxy.

31. The process of claim 29 wherein the taxane has
the structure:



wherein

5 R_1 is hydrogen, hydroxy, protected hydroxy, or
together with R_{14} or R_2 forms a carbonate;

R_2 is keto, $-OT_2$, acyloxy, or together with R_1 forms
a carbonate;

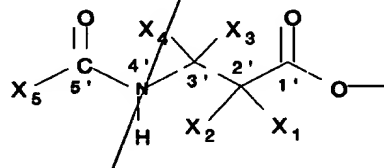
R_4 is $-OT_4$, or acyloxy;

10 R_7 is hydroxy;

R_9 is hydrogen, keto, $-OT_9$, or acyloxy;

R_{10} is acyloxy;

R_{13} is hydroxy, protected hydroxy, keto, or



15 R_{14} is hydrogen, $-OT_4$, acyloxy, or together with R_1
forms a carbonate;

T_2 , T_4 , T_9 , and T_{14} are independently hydrogen or hydroxy protecting group;

X_1 is $-OX_6$, $-SX_7$, or $-NX_8X_9$;

20 X_2 is hydrogen, hydrocarbyl, substituted hydrocarbyl, or heteroaryl;

X_3 and X_4 are independently hydrogen, hydrocarbyl, substituted hydrocarbyl, or heteroaryl;

25 X_5 is $-X_{10}$, $-OX_{10}$, $-SX_{10}$, $-NX_8X_{10}$, or $-SO_2X_{11}$;

X_6 is hydrocarbyl, substituted hydrocarbyl, heteroaryl, hydroxy protecting group or a functional group which increases the water solubility of the taxane derivative;

30 X_7 is hydrocarbyl, substituted hydrocarbyl, heteroaryl, or sulfhydryl protecting group;

X_8 is hydrogen, hydrocarbyl, or substituted hydrocarbyl;

X_9 is an amino protecting group;

35 X_{10} is hydrocarbyl, substituted hydrocarbyl, or heteroaryl;

X_{11} is hydrocarbyl, substituted hydrocarbyl, heteroaryl, $-OX_{10}$, or $-NX_8X_{14}$; and

40 X_{14} is hydrogen, hydrocarbyl, substituted hydrocarbyl, or heteroaryl.

32. The process of claim 31 wherein

R_1 is hydroxy or together with R_{14} or R_2 forms a carbonate;

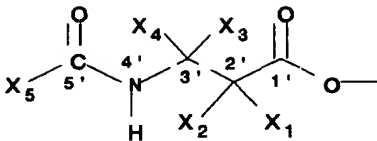
5 R_2 is $-OCOZ_2$, $-OCOOZ_2$, or together with R_1 forms a carbonate;

R_4 is $-OCOZ_4$;

R_9 is hydrogen or keto;

R_{13} is hydroxy, protected hydroxy, or

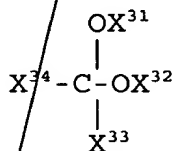
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- 10 R_{14} is hydrogen, hydroxy, protected hydroxy, or
together with R_1 forms a carbonate;
 X_1 is $-OX_6$ or $-NX_8X_9$;
 X_2 is hydrogen, hydrocarbyl, or substituted
hydrocarbyl;
15 X_3 and X_4 are independently hydrogen, hydrocarbyl,
substituted hydrocarbyl, or heteroaryl;
 X_5 is $-X_{10}$, $-OX_{10}$, or $-NX_8X_{10}$;
 X_6 is a hydroxy protecting group;
 X_8 is hydrogen, hydrocarbyl, or substituted
20 hydrocarbyl;
 X_9 is an amino protecting group;
 X_{10} is hydrocarbyl, substituted hydrocarbyl, or
heteroaryl; and
 Z_2 and Z_4 are independently hydrocarbyl, substituted
25 hydrocarbyl, or heteroaryl.

33. The process of claim 29 wherein the acid
catalyst is an inorganic acid.

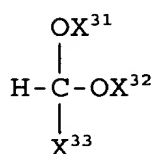
34. The process of claim 29 wherein the ketalizing
agent has the formula



wherein X^{31} , X^{32} , X^{33} and X^{34} are independently hydrocarbyl,
substituted hydrocarbyl or heteroaryl.

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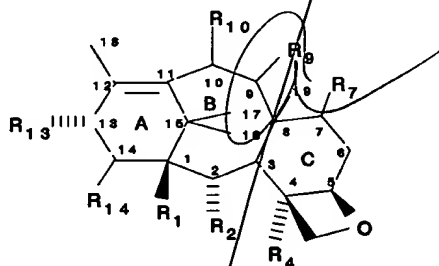
35. The process of claim 29 wherein the ketalizing agent has the formula



wherein X^{31} , X^{32} and X^{33} are independently hydrocarbyl, substituted hydrocarbyl or heteroaryl.

36. The process of claim 29 wherein the ketalizing agent is a vinyl ether.

37. A taxane having the structure:



5

wherein

M is a metal or comprises ammonium:

R_1 is hydrogen, hydroxy, protected hydroxy, or together with R_{14} or R_2 forms a carbonate;

10 R_2 is keto, $-\text{OT}_2$, acyloxy, or together with R_1 forms a carbonate;

R_4 is $-\text{OT}_4$ or acyloxy;

R_7 is $-\text{OSiR}_j\text{R}_k\text{R}_L$;

R_9 is hydrogen, keto, $-\text{OT}_9$, or acyloxy;

15 R_{10} is hydrogen, keto, $-\text{OT}_{10}$, or acyloxy;

R_{13} is hydroxy, protected hydroxy, keto, or $\text{MO}-$;

R_{14} is hydrogen, $-\text{OT}_{14}$, acyloxy, or together with R_1 forms a carbonate;

20 R_J , R_K , R_L are independently hydrocarbyl, substituted hydrocarbyl, or heteroaryl, provided, however, if each of R_J , R_K and R_L are alkyl, at least one of R_J , R_K and R_L comprises a carbon skeleton having at least four carbon atoms; and

25 T_2 , T_4 , T_9 , T_{10} , and T_{14} are independently hydrogen or hydroxy protecting group.

38. The taxane of claim 37 wherein R_{10} is $-OT_{10}$, T_{10} is $SiR_D R_E R_F$, and R_D , R_E , R_F are independently hydrocarbyl, substituted hydrocarbyl, or heteroaryl.

39. The taxane of claim 37 wherein

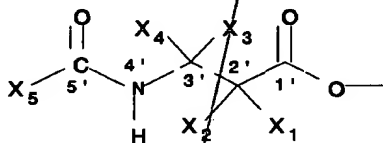
R_1 is hydroxy or together with R_{14} or R_2 forms a carbonate;

5 R_2 is $-OCOZ_2$, $-OCOOZ_2$, or together with R_1 forms a carbonate;

R_4 is $-OCOZ_4$;

R_9 is hydrogen or keto;

R_{13} is hydroxy, protected hydroxy, or



10 R_{14} is hydrogen, hydroxy, protected hydroxy, or together with R_1 forms a carbonate;

X_1 is $-OX_6$ or $-NX_8 X_9$;

X_2 is hydrogen, hydrocarbyl, or substituted hydrocarbyl;

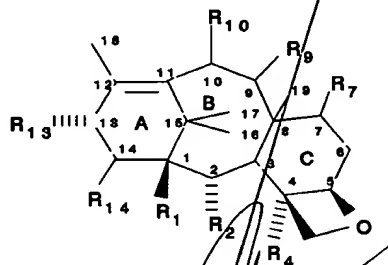
15 X_3 and X_4 are independently hydrogen, hydrocarbyl, substituted hydrocarbyl, or heteroaryl;

X_5 is $-X_{10}$, $-OX_{10}$, or $-NX_8 X_{10}$;

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- X_6 is a hydroxy protecting group;
 X_8 is hydrogen, hydrocarbyl, or substituted
20 hydrocarbyl;
 X_9 is an amino protecting group;
 X_{10} is hydrocarbyl, substituted hydrocarbyl, or
heteroaryl; and
 Z_2 and Z_4 are independently hydrocarbyl, substituted
25 hydrocarbyl, or heteroaryl.

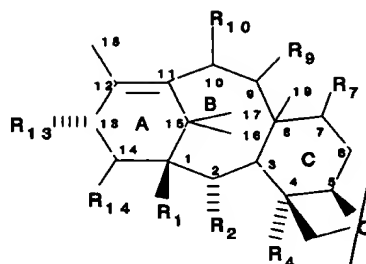
40. A taxane having the structure:



wherein

- M is a metal or comprises ammonium:
5 R_1 is hydrogen, hydroxy, protected hydroxy, or
together with R_{14} or R_2 forms a carbonate;
 R_2 is keto, $-OT_2$, acyloxy, or together with R_1 forms
a carbonate;
 R_4 is $-OT_4$ or acyloxy;
10 R_7 is $-OT_7$ or acyloxy;
 R_9 is hydrogen, keto, $-OT_9$, or acyloxy;
 R_{10} is acyloxy, provided that the acyl moiety is
other than acetoxy;
 R_{13} is hydroxy, protected hydroxy, keto, or $MO-$;
15 R_{14} is hydrogen, $-OT_{14}$, acyloxy, or together with R_1
forms a carbonate; and
 T_2 , T_4 , T_7 , T_9 , and T_{14} are independently hydrogen or
hydroxy protecting group.

41. A taxane having the structure:



wherein

M is a metal or comprises ammonium:

5 R₁ is hydrogen, hydroxy, protected hydroxy, or together with R₁₄ or R₂ forms a carbonate;

R₂ is keto, -OT₂, acyloxy, or together with R₁ forms a carbonate;

R₄ is -OT₄ or acyloxy;

10 R₇ is hydrogen, halogen, -OT₇, or acyloxy;

R₉ is hydrogen, keto, -OT₉, or acyloxy;

R₁₀ is -OSiR_DR_ER_F;

R₁₃ is hydroxy, protected hydroxy, keto, or MO-;

15 R₁₄ is hydrogen, -OT₁₄ or together with R₁ forms a carbonate;

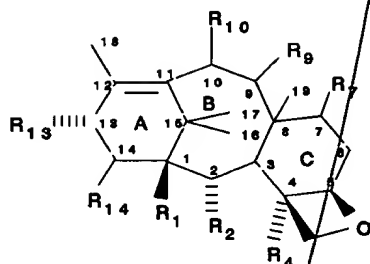
R_D, R_E, R_F are independently hydrocarbyl, substituted hydrocarbyl, or heteroaryl; and

T₂, T₄, T₇, T₉ and T₁₄ are independently hydrogen or hydroxy protecting group.

42. The taxane of claim 40 wherein R₇ is acyloxy.

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43. A taxane having the structure:



wherein

M is a metal or comprises ammonium:

5 R₁ is hydrogen, hydroxy, protected hydroxy, or
together with R₁₄ or R₂ forms a carbonate;

R₂ is keto, -OT₂, acyloxy, or together with R₁ forms
a carbonate;

R₄ is -OT₄ or acyloxy;

10 R₇ is acyloxy;

R₉ is hydrogen, keto, -OT₉, or acyloxy;

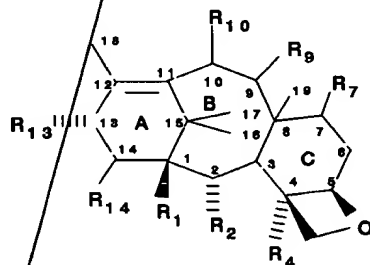
R₁₀ is hydrogen, keto, -OT₁₀, or acyloxy;

R₁₃ is hydroxy, protected hydroxy, keto, or MO-;

15 R₁₄ is hydrogen, -OT₁₄, acyloxy or together with R₁
forms a carbonate; and

T₂, T₄, T₉, T₁₀ and T₁₄ are independently hydrogen or
hydroxy protecting group.

44. A taxane having the structure:



wherein

M is a metal or comprises ammonium:

R_1 is hydrogen, hydroxy, protected hydroxy, or together with R_{14} or R_2 forms a carbonate;

R_2 is keto, $-OT_2$, acyloxy, or together with R_1 forms a carbonate;

R_4 is $-OT_4$ or acyloxy;

R_7 is $-OT_7$;

R_9 is hydrogen, keto, $-OT_9$, or acyloxy;

R_{10} is hydrogen, keto, $-OT_{10}$, or acyloxy;

R_{13} is hydroxy, protected hydroxy, keto, or $MO-$;

R_{14} is hydrogen, $-OT_{14}$, acyloxy, or together with R_1 forms a carbonate;

T_7 is a ketal or an acetal radical;

T_2 , T_4 , T_9 , T_{10} , and T_{14} are independently hydrogen or hydroxy protecting group.

45. The taxane of claim 44 wherein

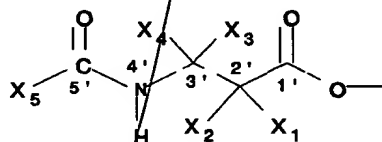
R_1 is hydroxy or together with R_{14} or R_2 forms a carbonate;

5 R_2 is $-OCOZ_2$, $-OCOOZ_2$, or together with R_1 forms a carbonate;

R_4 is $-OCOZ_4$;

R_9 is hydrogen or keto;

R_{13} is hydroxy, protected hydroxy, or



10 R_{14} is hydrogen, hydroxy, protected hydroxy, or together with R_1 forms a carbonate;

X_1 is $-OX_6$ or $-NX_8X_9$;

X_2 is hydrogen, hydrocarbyl, or substituted hydrocarbyl;

- 15 X_3 and X_4 are independently hydrogen, hydrocarbyl,
substituted hydrocarbyl, or heteroaryl;
 X_5 is $-X_{10}$, $-OX_{10}$, or $-NX_8X_{10}$;
 X_6 is a hydroxy protecting group;
 X_8 is hydrogen, hydrocarbyl, or substituted
20 hydrocarbyl;
 X_9 is an amino protecting group;
 X_{10} is hydrocarbyl, substituted hydrocarbyl, or
heteroaryl; and
 Z_2 and Z_4 are independently hydrocarbyl, substituted
25 hydrocarbyl, or heteroaryl.

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